

WE CLAIM:

1. A compound or pharmaceutically acceptable salt thereof, having the formula

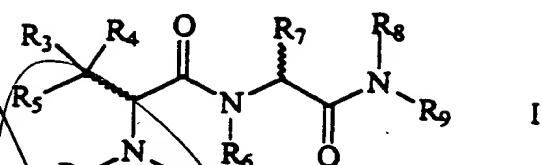
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wherein,



25 R₁ and R₂ are independently selected from the group consisting of: H, R, and ArR-, and where at least one of R₁ and R₂ is R and neither are ArR-, R₁ and R₂ together may optionally be a three to seven member ring;

30 R₃ and R₄ are independently selected from the group consisting of: H, R, ArR-, and where at least one of R₃ and R₄ is R and neither are ArR- or Ar, R₃ and R₄ together may optionally be a three to seven member ring;

35 R₅ is selected from the group consisting of: H, R, ArR-, and Ar;

35 R₆ is selected from the group consisting of: H, R, and ArR-;

R₇ and R₈ are independently selected from the group consisting of: H, R, and ArR-; and

5 R₉ is: Z-C(=O)-Y-;

and wherein,

R is defined as a saturated or unsaturated moiety having a linear, branched, or cyclic skeleton containing one to ten carbon atoms, zero to four nitrogen atoms, zero to four oxygen atoms, and zero to four sulfur atoms, and the carbon atoms are optionally substituted with: =O, =S, -OH, -OR₁₀, -O₂CR₁₀, -SH, -SR₁₀, -SO₂CR₁₀, -NH₂, -NHR₁₀, -N(R₁₀)₂, -NHCOR₁₀, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R₁₀, 15 -CHO, -COR₁₀, -CONH₂, -CONHR₁₀, -CON(R₁₀)₂, -COSH, -COSR₁₀, -NO₂, -SO₃H, -SOR₁₀, -SO₂R₁₀, wherein R₁₀ is a linear, branched or cyclic, one to ten carbon saturated or unsaturated alkyl group;

20 X is defined as a moiety selected from the group consisting of: -OH, -OR, =O, =S, -O₂CR, -SH, -SR, -SO₂CR, -NH₂, -NHR, -N(R)₂, -NHCOR, -NR₁₀COR₁₀, -I, -Br, -Cl, -F, -CN, -CO₂H, -CO₂R, -CHO, -COR, -CONH₂, -CONHR, -CON(R)₂, -COSH, -COSR, -NO₂, -SO₃H, -SOR, and -SO₂R;

25 Ar is defined as an aromatic ring selected from the group consisting of: phenyl, naphthyl, anthracyl, phenanthryl, furyl, pyrrolyl, thiophenyl, benzofuryl, benzothiophenyl, quinolinyl, isoquinolinyl, imidazolyl, 30 thiazolyl, oxazolyl, and pyridinyl, optionally substituted with R or X;

35 Y is defined as a moiety selected from the group consisting of: a linear, saturated or unsaturated, one to six carbon alkyl group, optionally substituted with R, ArR-, or X; and,

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Z is defined as a moiety selected from the group consisting of: -OH, -OR; -SH; -SR; -NH₂; -NHR; -N(R)₂; -NHCH(R₁₁)COOH; and -NRCH(R₁₁)COOH, wherein R₁₁ is a moiety having the formula: R, or -(CH₂)_nNR₁₂R₁₃, wherein n=1-4 and 5 R₁₂ and R₁₃ are independently selected from the group consisting of: H; R; and -C(NH)(NH₂).

2. The compound of claim 1 wherein Ar is phenyl, naphthyl, anthracyl, or pyrrolyl.

10 3. The compound of claim 2 where R₅ is phenyl, naphthyl, anthracyl, or pyrrolyl.

15 4. The compound of claim 1, ~~2 or 3~~ wherein R₃ and R₄ are independently selected from the group consisting of: methyl, ethyl, n-propyl and n-butyl; or, R₃ and R₄ together are selected from the group consisting of: β-cyclopropyl, β-cyclobutyl, β-cyclopentyl and β-cyclohexyl.

20 5. The compound of ~~any of claims 1-4~~ wherein R₁ and R₂ are independently selected from the group consisting of: H, methyl, ethyl, propyl, n-butyl, acetyl; or, R₁ and R₂ are joined and form a moiety selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

25 6. The compound of ~~any of claims 1-4~~ wherein R₁ and R₂ are independently: H, CH₃, or acetyl.

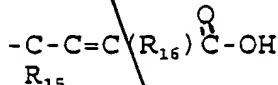
30 7. The compound of ~~any of claims 1-4~~ wherein R₁ is H, and R₂ is -CH₃.

35 8. The compound of ~~any of claims 1-7~~ wherein Z is: OH, -OCH₃, -NHCH(R₁₁)COOH, or, -NCH₃CH(R₁₁)COOH, wherein R₁₁ is R, or -(CH₂)_nNHC(NH)(NH₂).

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a 9. The compound of *Claim 1* wherein Z is OH or -OR₁₄, wherein R₁₄ is a linear or branched one to six carbon alkyl group.

a 5 10. The compound of *any of claims 1-7* wherein R₉ has the formula:



10 wherein R₁₅ is selected from the group consisting of: methyl, ethyl, n-propyl, isopropyl, tert-butyl, iso-butyl, and sec-butyl; and R₁₆ is selected from the group consisting of: H, methyl, ethyl, propyl, iso-propyl, n-butyl, iso-butyl and sec-butyl.

15 11. The compound of claim 10 wherein R₁₅ is isopropyl and R₁₆ is methyl.

a 20 12. The compound of *any of claims 1-11* wherein R₉ is a three to six carbon, branched alkyl group.

a 13. The compound of *any of claims 1-12* wherein R₆ and R₈ are independently: H, or CH₃.

a 25 14. The compound of *any of claims 1-11* wherein R₆ is H, R₇ is: -C(CH₃)₃, and R₈ is -CH₃.

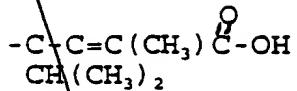
a 30 15. The compound of *any of claims 1-14* wherein R₃ and R₄ are each R.

a 16. The compound of *any of claims 1-14* wherein R₃ and R₄ are each -CH₃.

35 17. The compound of claim 16 wherein R₅ is phenyl.

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18. The compound of claim 17 wherein R₉ has the formula:

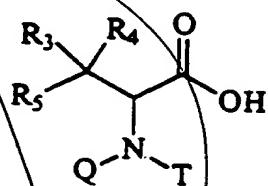


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19. A method of preparing a compound as described in claim 1 comprising the step of:

(a) coupling an amino acid having the formula:

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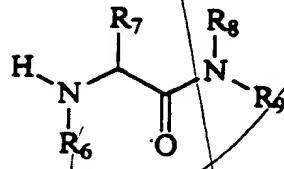
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in which R₃ - R₅ are as defined in claim 1 and Q and T are selected from the group consisting of: R₁ and R₂ as defined in claim 1, and a protecting group;

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with a dipeptide having the formula:

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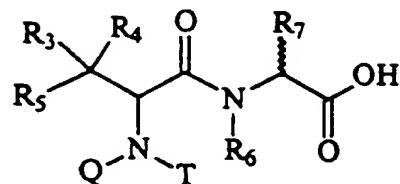
in which R₆ - R₉ are as defined in claim 1;

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and, where Q or T is a protecting group, the additional step of replacing the protecting group with R₁ or R₂ to form compound I; or,

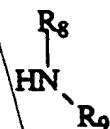
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(b) coupling a dipeptide having the formula:



10 in which R_3 - R_7 are as defined in claim 1 and Q and T are as defined above;

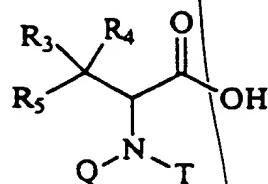
15 with an amino acid having the formula:



in which R_8 and R_9 are as defined in claim 1;

25 and, where Q or T is a protecting group, the additional step of replacing the protecting group with R_1 or R_2 to form compound I.

30 20. An amino acid suitable for use in the method of claim 19, having the formula:



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in which R₃ - R₅, Q and T are as defined in claim 19.

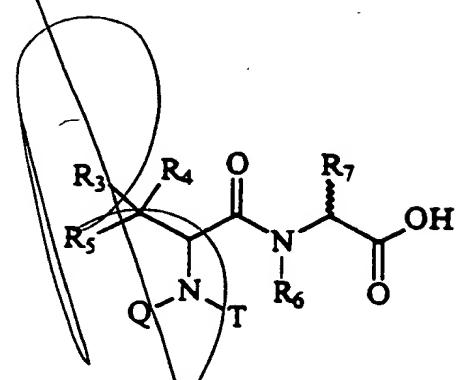
21. A dipeptide suitable for use in the method of
claim 19, having the formula:

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in which R₃ - R₇, Q and T are as defined in claim 19.